KHOMUTOV, N. Ye.; SKORNYAKOV, V.V.; BELIK, V.V.

Kinetics of the electrolytic reduction of streptomycin on various metals. Zhur. fiz. khim. 39 no. 1:222-227 Ja '65
(MIRA 19:1)

1. Khimiko-tekhnologicheskiy institut imeni D.I. Mendeleyeva, Moskva. Submitted February 25, 1964.

KHOMUTOVA, A.P.

X-ray diagnosis of the stages in the development of obturating obstruction of the small intestine. (Experimental clinical study). Khirurgiia no.3:89-94 '62. (MIRA 15:3)

1. Iz Leningradskogo nauchno-issledovatel'skogo instituta skoroy pomoshchi imeni Yu.Yu. Dzhanelidze (dir. - dotsent S.N. Polikarpov, nauchnyy rukovoditel' - zasluzhenny deyatel' nauki prof. M.S. Lisitsyn).
(INTESTINES--OBSTRUCTIONS) (DIAGNOSIS, RADIOSCOPIC)

KHOMUTOV, R.M.

Chemical Abst.
Vol. 48 No. 8
Apr. 25, 1954
Organic Chemistry

Organomercury compounds. Symmetrization of α-(halomercuri) ketones. A. N. Nesmeyanov, I. F. Lutsenko, and R. M. Khomutov (M. V. Lomonosov State Univ., Moscow). *Doklady Akad. Nauk S.S.S.R.* 88, 847-8 (1953).—Ketones with a HgX grouping are symmetrized into R_2Hg by means of NH_3; dry NH_3 gives poor yields if simply passed into the reaction mixt., but the following technique gave good results at room temp. (heating must be prevented). To 0 g. $AcCH_2HgCl$ in 250 ml. $(CH_2Cl)_2$ was added a soln. of NH_3 in $(CH_2Cl)_2$ until pptn. ceased, ppt. filtered off, washed with fresh solvent, and the soln. evapd., yielding 4.5 g. *diacetonylmercury*, m. 69° (from heptane-C_6H_6). This (0.32 g.) and 0.27 g. $HgCl_2$ heated 10 min. in EtOH gave 0.5 g. $AcCH_2HgCl$, m. 103–4°. Similarly, $BzCH_2HgCl$ and NH_3 in $(CH_2Cl)_2$ gave 50% $(BzCH_2)_2Hg$, m. 168°. 2-(Chloromercuri)cyclopentanone similarly gave 70% *bis(2-oxocyclopentyl)mercury*, m. 110–12° (from MePh-octane), which, heated with $HgCl_2$ in EtOH gave, $RHgCl$, m. 149–50°. Reaction of 2-(Chloromercuri)cyclohexanone with NH_3, as above, gave 65% *bis(2-oxocyclohexyl)mercury*, decomp. 120° (from PhMe-octane). G. M. K.

KHOMUTOV, R. M.

USSR/Chemistry - Organic chemistry

Card 1/1 Pub. 22 - 24/49

Authors : Lutsenko, I. F., and Khomutov, R. M.

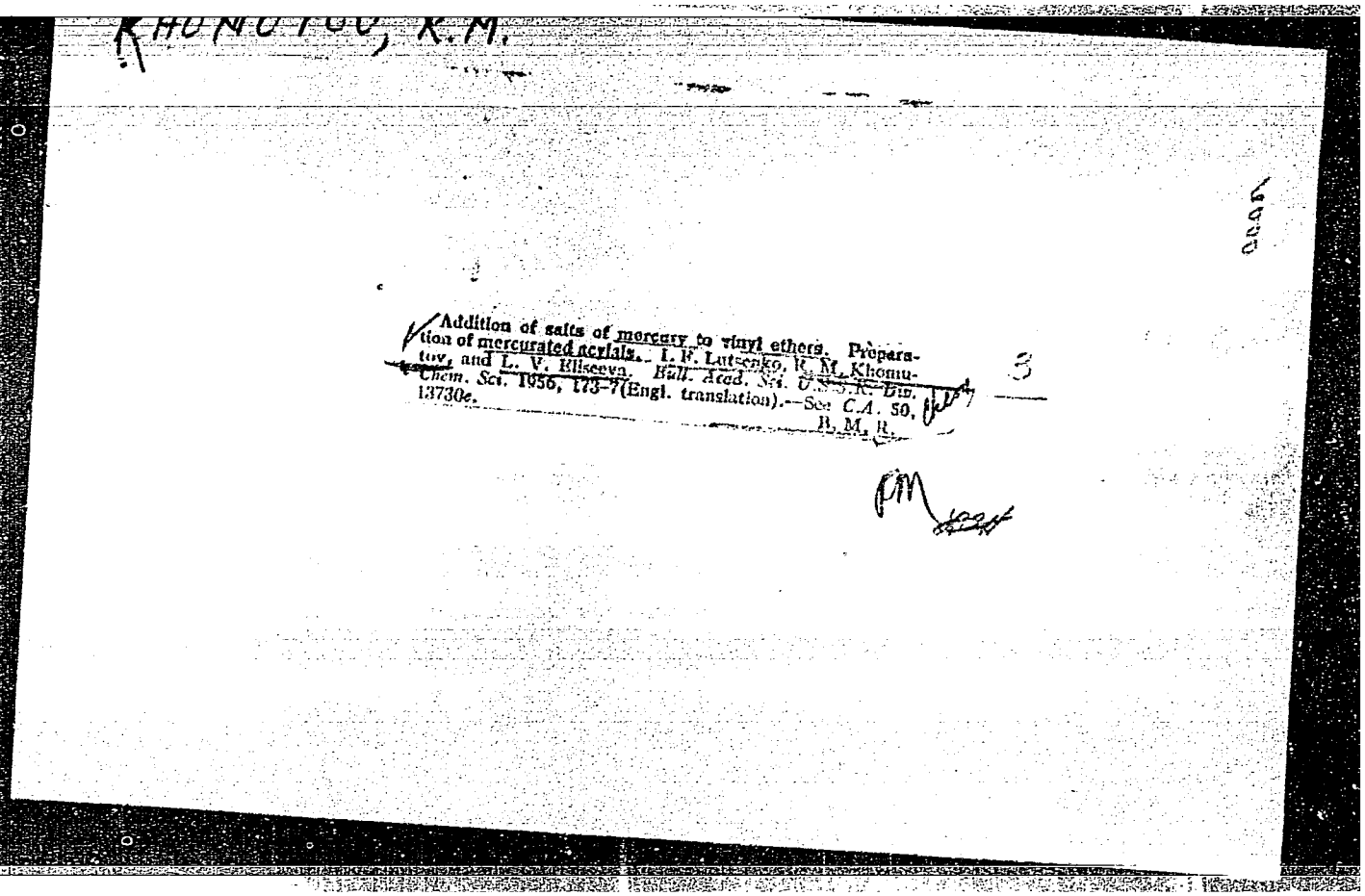
Title : Reaction of HgO with vinyl ethers

Periodical : Dok. AN SSSR 102/1, 97-99, May 1, 1955

Abstract : Two new methods of synthesizing mercury bis-ketones and mercury bis-acetaldehydes are described. The products obtained through the application of the new methods are listed. It was established that the addition of Hg salts to ethylene in an alkali medium leads only to the formation of ethanolmercury hydroxide which does not react with an alkene surplus. It was also found that the reaction between moist HgO and vinyl ethers, which results in direct formation of mercuri-organic compounds, passes an intermediate stage of formation of mercuri-organic hydroxides. Four references: 3 USSR and 1 USA (1947-1953).

Institution : The Moscow State University im. M. V. Lomonosov

Presented by : Academician A. N. Nesmeyanov, December 20, 1954



KHUKOTOV, K.M.

Addition of salts of mercury to vinyl ethers. Preparation of mercurated acrylals. I. F. Lutsenko, K. M. Khomutov, and L. V. Eliseeva. *Bull. Acad. Sci. U.S.S.R. Div. Chem. Sci.* 1956, 773–7 (Engl. translation).—See *C.A.* 50, 13730e.

B, M, R

Khomutov, R. M.

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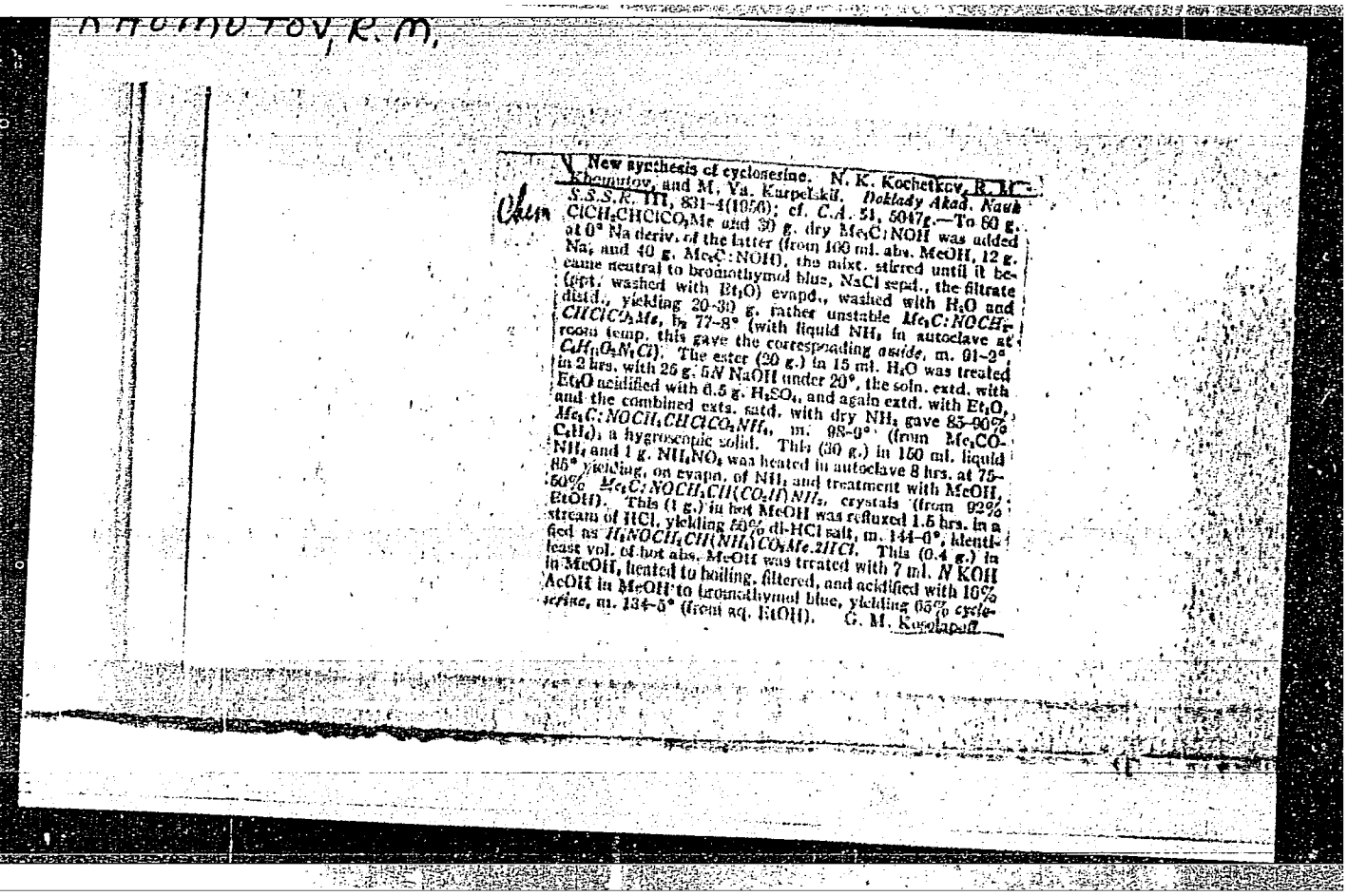
Addition of salts of mercury to vinyl ethers. Preparation of mercurated acylals. I. F. Lutsenko, R. M. Khomutov, and L. V. Eliseeva (M. V. Lomonosov State Univ., Moscow). *Izvest. Akad. Nauk S.S.S.R., Otdel. Khim. Nauk* 1956, 181–6; *Bull. Acad. Sci. U.S.S.R. Div. Chem. Sci.* 1956, 173–7(Engl. translation).—Addn. of 10 ml. Et_2O to 3.2 g. $Hg(OAc)_2$, followed by addn. with cooling of 0.72 g. EtOCH:CH_2 gave on cooling 92.3% *AcOHgCH$_2$CH(OEt)OAc* (I), m. 38–9°. Similarly was obtained 91.7% *AcOHgCH$_2$CH(OMe)OAc*, m. 48–9° (from Me_2CO). 100% *AcOHgCH$_2$CH$_2$CH(OCHMe$_2$)OAc*, and 100% *AcOHgCH$_2$CH(OBu)OAc*, the latter two being viscous oils. Similarly was prepd. 93.1% *AcOHgCH$_2$CH(OPh)OAc*, m. 96–7°. I treated with aq. KCl gave 100% *ClHgCH$_2$CHO*, m. 128–9°. I and NaI in dry cyclohexanone, with cooling, gave 48.6% EtOCH:CH_2. I and AcCl in Et_2O gave 56.6% Ac_2O and polymer of EtOCH:CH_2. I and $(EtO)_3P$ gave Hg and EtOCH:CH_2 (70%), along with 86.6% EtOAc. $(EtO)_3P$ and $Hg(OAc)_2$ gave Hg and 54.5% EtOAc. Bromination of I in CCl_4 gave 54% *BrCH$_2$CH(OEt)OAc*, b_{13} 77–9°, n_D^{20} 1.4415, d_4 1.3010. Similarly was prepd. 55.6% *BrCH$_2$CH(OCHMe$_2$)OAc*, b_3 58°, 1.4457, 1.3240, and 43.5% *BrCH$_2$CH(OBu)OAc*, b_2 69–72°, 1.4445, 1.2872. G. M. Kosolapoff

Chem 3



Kochetkov, K. M.

Chem

New synthesis of cyclosesine. N. K. Kochetkov, K. M. Khomutov, and M. Ya. Karpeiskii. *Doklady Akad. Nauk S.S.S.R.* 111, 831–4(1956); cf. *C.A.* 51, 5047g.—To 80 g. $ClCH_2CHClCO_2Me$ and 30 g. dry $Me_2C:NOH$ was added at 0° Na deriv. of the latter (from 100 ml. abs. MeOH, 12 g. Na, and 40 g. $Me_2C:NOH$), the mixt. stirred until it became neutral to bromothymol blue, NaCl sepd., the filtrate (filt. washed with Et_2O) evapd., washed with H_2O and distd., yielding 20–30 g. rather unstable $Me_2C:NOCH(CH_2Cl)CO_2Me$, b_2 77–8° (with liquid NH_3 in autoclave at room temp. this gave the corresponding *amide*, m. 91–2°, $C_6H_{11}O_2N_2Cl$). The ester (20 g.) in 15 ml. H_2O was treated in 2 hrs. with 25 g. 5*N* NaOH under 20°, the soln. extd. with Et_2O acidified with 6.5 g. H_2SO_4, and again extd. with Et_2O, and the combined exts. satd. with dry NH_3 gave 85–90% $Me_2C:NOCH_2CH(CO_2NH_4)_2$, m. 98–9° (from Me_2CO–C_6H_6), a hygroscopic solid. This (30 g.) in 150 ml. liquid NH_3 and 1 g. NH_4NO_3 was heated in autoclave 8 hrs. at 75–85° yielding, on evapn. of NH_3 and treatment with MeOH, 50% $Me_2C:NOCH_2CH(CO_2H)NH_2$, crystals (from 92% EtOH). This (1 g.) in hot MeOH was refluxed 1.5 hrs. in a stream of HCl, yielding 50% di-HCl salt, m. 144–6°, identified as $H_2NOCH_2CH(NH_2)CO_2Me.2HCl$. This (0.4 g.) in least vol. of hot abs. MeOH was treated with 7 ml. *N* KOH in MeOH, heated to boiling, filtered, and acidified with 10% AcOH in MeOH to bromothymol blue, yielding 65% *cycloserine*, m. 134–5° (from aq. EtOH). G. M. Kosolapoff

Khomutov, R. N.

Distr: 4E4j/4E3d/4E2c(j) 7

Methyl β-aminohydroxyalanine dihydrochloride. M. Ya. Karpeiskii, N. K. Kochetkov, and R. N. Khomutov. U.S.S.R. 106,707, Aug. 25, 1957. The ester of dichloropropionic acid is treated with a metal oxime, the product sapond., aminated, and the resulting substituted amino acid treated with H halide and MeOH. M. Hosch

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2/11/59

3

Khomutov, R. M.

Distr: 4E4j/4B2c(j)

Addition of salts of mercury to vinyl ethers and esters in alcoholic medium. A. N. Nesmeyanov, I. F. Lutsenko, and R. M. Khomutov (M. V. Lomonosov State Univ., Moscow). *Izvest. Akad. Nauk S.S.S.R., Otdel. Khim. Nauk* 1957, 942–8; cf. *C.A.* 42, 4148*i*.—To 15.9 g. $Hg(OAc)_2$ in 20 ml. abs. EtOH was added 7.5 g. $EtOCH:CH_2$ followed by gradual addn. of 10.8 g. yellow HgO and pouring the mixt. into aq. KCl which gave a heavy oil of $ClHgCH_2CH(OEt)_2$ (I). Similarly was prepd. 70% $BrHgCH_2CH(OBu)_2$. Kept in aq. EtOH contg. a little H_2SO_4 the latter gave $BrHgCH_2CHO$, m. 138°. Similarly, 4.8 g. $Hg(OAc)_2$, 9 g. $CH_2:CHOAc$, and 18.4 g. HgO in EtOH with addition of KCl gave 70% $ClHgCH_2CH(OEt)_2$; this in acidified H_2O gave 92% $ClHgCH_2CHO$, m. 129–30°. Heating 1.6 g. $(AcNH)_2Hg$, 1.2 g. $PhOCH:CH_2$, 1 g. PhOH, and 5 ml. $(CH_2Cl)_2$ to soln. and keeping 1 day gave after addn. of Et_2O and cooling 87% $AcNHHgCH_2CH(OPh)_2$, m. 107–9°, which heated with $Hg(OAc)_2$ in EtOH gave 100% $AcOHgCH_2CH(OPh)_2$, m. 101–2°. Bromination of I in cold $CHCl_3$ gave 80% $BrCH_2CH(OEt)_2$; similarly formed 95% $BrCH_2CH(OBu)_2$. $ClHgCH_2CH(OMe)_2$ with KI in EtOH gave $MeOCH:CH_2$ and $ClHgCH_2CHO$. I with Br_2 in pyridine gave 35% $EtOCH:CH_2$. Shaking 5.4 g. yellow HgO with 4 g. $EtOCH:CH_2$, 15 ml. abs. EtOH, and 15 g. $Hg(OAc)_2$ gave 80% $(EtO)_2CHCH_2HgCH_2CH(OH)OEt$, an oil, which brominated in $CHCl_3$ in the cold gave 60% $BrCH_2CHO$ and 71% $BrCH_2CH(OEt)_2$. To 108 g. yellow HgO, 4 g. $Hg(OAc)_2$, and 70 ml. abs. MeOH was added with cooling 110 g. $BuOCH:CH_2$ and the resulting soln. treated with Et_2O yielding on addn. of 15 ml. 0.1*N* H_2SO_4 84% $Hg(CH_2CHO)_2$, m. 92–4°.

G. M. Kosolapoff

AUTHORS: Kochetkov, N. K., Khomutova, Ye. D., Karpeyskiy, M. Ya., and Khomutov, R. M. 79-12-9/43

TITLE: Investigation in the Series of the Isoxazol (Issledovaniye v ryadu izoksazola)
IV. Synthesis of Some Amines of the Isoxazol Series (Sintez nekotorykh aminov ryada izoksazola)

PERIODICAL: Zhurnal Obshchey Khimii, 1957, Vol. 27, Nr 12, pp. 3210-3214 (USSR)

ABSTRACT: In connection with that, recently obtained in physiologically active substances, to which the isoxazol-cycle belongs, too, the synthesis of some derivatives of the isoxazol series with an amino group in the side chain was carried out by the authors. Thus the reaction of the 3-methyl--chloride-isoxazol with diethylaminoethanol leads to (isoxazol-3-methyl)-β-diethylaminoethyl-ether (see formulae). This amino ether forms together with ethyl iodide a quartary salt, which is also confirmed by its structure. Under the same conditions also the 3-diethylaminomethyl--isoxazol forms a quartary salt, whereas a direct influence of the 3-methyl-chloride-isoxazol upon triethyl-amine does not lead to the result expected. Furthermore, the authors

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79-12-9/43

Investigation in the Series of the Isoxazol
IV. Synthesis of Some Amines of the Isoxazol Series

succeeded to bring the 3-methyl-chloride-isoxazol in condensation with aromatic amines, with the aim to use the compounds obtained for the synthesis of the isologues (izologov) of the known preparation "Anthergan" (antergan), having the isoxazol-cycle instead of the benzene nucleus (see formulae!). As the halide methyl-isoxazols substituted are difficult to approach, a simple method of producing the 4-methyl-chloride-3-dimethyl-3,5-dimethyl-isoxazol had to be worked out. It succeeded to realize this new reaction by means of the heating of the 3,5-dimethyl-isoxazol with paraformaldehyde in dry tetra-hydrogen-chloride in the presence of hydrogen chloride. The yield of 3,5-dimethyl-4--methyl-chloride-isoxazol amounted to 28-30%. It was shown that the synthesized N-phenyl-N-(3,5-dimethyl-isoxazolyl-4--methyl)-N, N-dialkyl-ethylene-diamines and the iodine ethylate of the 3-diethyl-amino-methyl-isoxazol demonstrate a weak physiologic activity. There are 7 references, 4 of which are Slavic.

Inst. Pharmacology & Chemotherapy, AMS USSR

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SOV/63-3-6-36/43

AUTHORS: Kochetkov, N.K., Gottikh, B.P., Karpeyskiy, M.Ya., Khomutov, R.M.

TITLE: The Configuration of β-Chlorovinylketones (O konfiguratsii β-khlorvinilketonov)

PERIODICAL: Khimicheskaya nauka i promyshlennost', 1958, Vol III, Nr 6, p 834 (USSR)

ABSTRACT: It is supposed that β-chlorovinylketones have a trans-configuration, since the only product of the oxidation of the sodium hypochlorite of the methyl-β-chlorovinylketone is the trans-chloroacrylic acid.
There are 6 Soviet references.

ASSOCIATION: Nauchno-issledovatel'skiy institut farmakologii i khimoterapii (Scientific Research Institute of Pharmacology and Chemical Therapy)

SUBMITTED: May 7, 1958

Card 1/1

AUTHORS: Kochetkov, N. K., Khomutov, R. M., Karpeyskiy, M. Ya., Budovskiy, E.I. SOV/79-28-11-25/55

TITLE: Cycloserine and Related Compounds (Tsikloserin i rodstvennyye soyedineniya) III. On the Synthesis of Cycloserine (III.O sinteze tsikloserina)

PERIODICAL: Zhurnal obshchey khimii, 1958, Vol 28, Nr 11, pp 3013 - 3019 (USSR)

ABSTRACT: Lately, the authors had reported on a new synthesis of the antibiotic cycloserine, the d-4-amino isooxazolidone-3, from an accessible ester of the α,β-dichloro propionic acid and acetoxime (Ref 2). As further chemical and clinical investigations (Refs 3,4) proved its high antitubercular activity this synthesis was investigated in detail. All other scientists have hitherto proceeded from the weakly accessible amino acid of serine. The synthesis of the authors took place according to the mentioned scheme 1, with methacrylate serving as initial substance. The condensation of the methyl-α,β-dichloro propionate (I) with acetoxime seems to be the

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Cycloserine and Related Compounds. III. On the Synthesis of Cycloserine SOV/79-28-11-25/55

bottleneck of this synthesis. The difficulties are due to the fact that a selective substitution of the β-halogen atom must be carried out. The reaction (I) with acetoxime was investigated with different solvents at different temperatures and at different ratios of the reacting compounds. The condensation (I) with the oxime supplied the best results in the presence of sodium methylate in methanol at 0-5° (yield of compound (II):25-30%). Besides (II) also the α-chloro β-methoxypropionate (20%), and apparently also the methyl-α-chloro-acrylate were obtained. Of the two possible reaction processes the one with the previous separation of hydrogen halide with the subsequent affiliation of the formed α-halogen acrylate to the double bond was selected. In the amination the ester was first transformed with alkali liquor into the acid (III), which then was subjected to the amination. After longer experiments the amination was carried out with excess liquid ammonia on heating under the formation of (IV).

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Cycloserine and Related Compounds. III. On the Synthesis SOV/79-28-11-25/55
of Cycloserine

This acid (IV) was separated in form of the chlorine hydrate, which further on served as the main product of the synthesis of dichloro hydrate (V). The acid hydrolysis was used (Scheme 2) for the selection of a secure and convenient transition from (IV) to (V) under various conditions. It was found that the synthesis of (V) is most convenient from (VI); it may, however, also be carried out directly from (IV) or (VIII). In the last stage it was possible to increase the yield in the cyclization of the dichlorine hydrate (V) to the cycloserine from 65 to 82%, with the product already separated in analytically pure state from the reaction mixture. Compared to earlier syntheses of cycloserine the one mentioned here offers a better yield and avoids the use of resinous compounds (Refs 5,10). The racemate of cycloserine showed a high activity against infections of all types. There are 11 references, 3 of which are Soviet.

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Inst Pharmacol. & Chemotherapy AMS USSR

SOV/20-120-5-33/67

AUTHORS: Nesmeyanov, A. N., Member, Academy of Sciences, USSR, Lutsenko, I. F., Khomutov, R. M.

TITLE: The Production of Metallic Derivatives of Vinyl Alcohol (Polucheniye metallicheskikh proizvodnykh vinilovogo spirta)

PERIODICAL: Doklady Akademii nauk SSSR, 1958, Vol. 120, Nr 5, pp.1049-1051 (USSR)

ABSTRACT: The most interesting characteristic feature of the α-monomercurated carbonyl compounds is their capacity of reacting in two directions (with respect to C and to O) and of forming 2 series of derivatives. In the first case the reaction products correspond to a direct substitution of the Hg-atom, in the second case the reaction center of the molecule is transferred since the Hg-C and C-O bonds are well developed (Refs 1-4). In the present paper the authors report on a new group of reactions investigated by them in which the reaction center is transferred as well. These reactions make possible an easy transition from C-metal derivatives of carbonyl compounds to their O-metal derivatives, especially the metal derivatives of the most simple enol - of vinyl alcohol. In order to ob-

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The Production of Metallic Derivatives of Vinyl Alcohol

tain lithium- and sodium vinylates the authors carried out experiments with the dissociation of the monomercurated acetaldehyde by means of metallic lithium and sodium into benzene and toluene which, however, failed. The authors succeeded, however, in obtaining the two vinylates in the individual state by means of the dissociation of the Hg-C bond of the aldehyde- and ketone mercury derivatives by alkali metal solutions in liquid ammonia. The obtained compounds are colorless crystalline substances. Lithium vinylate is soluble in ether and benzene, sodium vinylate, however, is not. The simple methods of synthesis of mercury-bis-acetaldehyde (Ref 5) worked out by the authors and a slight dissociation of the latter by alkali metals in liquid ammonia rendered accessible the hitherto not described most simple metal enolates. At present the authors are of the opinion that the dissociation of the Hg-C bond during an experiment in which the aldehyde- and ketone mercury salts were symmetrized by means of various complex formers passes an intermediate stage of the enolate formation. This enolate may be easily hydrolyzed in a water medium, when aldehyde or ketone, respectively, is split off.

[illegible]-1/2-5-33/67

The Production of Metallic Derivatives of Vinyl Alcohol

The H-atom bound to the oxygen of the monomeric carboxylic acids under anhydrous conditions, even with potassium chloride, in order to isolate the metal derivatives of vinyl alcohol by means of an exchange reaction of the metal halide with halogen vinyl esters. Acetaldehyde the authors eliminated the water medium. They carried out the reaction between anhydrous ferric chloride and mercury chloride acetoxy-type in dry acetone. The composition and the structure of the ferric vinylate oxychloride [illegible] obtained was proved by analyses and atomizing. There are 10 references, 7 of which are Soviet.

ASSOCIATION: Moskovskiy gosudarstvennyy universitet im. M. V. Lomonosova (Moscow State University imeni M. V. Lomonosov)

SUBMITTED: March 7, 1958

1. Alcohols (Polymerized)--Chemical reactions 2. Vinyl compounds --Chemical reactions 3. Vinyl compounds--Synthesis 4. Alkali metals--Chemical reactions

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TITLE: Polyvinyl Alcohol

AUTHORS: Kochetkov, N. K., Budovskiy, E. I., Khomutov, R. M., and Karpeyskiy, M. Ya. SOV/79-29-1-16/74

TITLE: Cycloserine and Related Compounds (Tsikloserin i rodstvennyye soyedineniya)
IV. α-Benzoyl-Amino Acrylic Hydroxamide Acids (IV. α-Benzoil-aminoakrilgidroksamovyye kisloty)

PERIODICAL: Zhurnal obshchey khimii, 1959, Vol 29, Nr 1, pp 68-75 (USSR)

ABSTRACT: In the search for methods of synthesizing the recently discovered antibiotic cycloserine and related compounds the authors believed it would be of advantage to extend their investigations to several hydroxamide acids having an acyl amino group in the α-position. Further reactions with this group brought about a new way of synthesizing cycloserine analogues. The present paper deals with the synthesis of β-substituted α-benzoyl-amino acrylic hydroxamide acids. The most favorable synthesis of those compounds was the reaction of azolactone with hydroxyl amine. Shaw and McDowell (Ref 4) succeeded in opening azolactone by reaction of 2-phenyl-4-benzylidene oxazolone (Ia) with free hydroxyl amine in methanol. This reaction, was, however, accompanied by

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Cycloserine and Related Compounds. SOV/79-29-1-16/74
IV. α-Benzoyl-Amino Acrylic Hydroxamide Acids

side-reactions so that the yield in α-benzoyl-amino-β-phenyl--acrylic hydroxamide acid (IIa) amounted only to 50 %. Apart from this acid α-benzoyl-amino-β-phenyl-β-oxyamino propionic acid was separated (25 %). Here, the reaction was carried out under varying conditions. Of essential importance in this connection the optimum percentage of the medium, which is not allowed to exceed 5-6.5, as otherwise complications would arise. Thus, a general synthesis of β-aryl-α-benzoyl-amino acrylic hydroxamide acids was worked out by reaction of 2-phenyl-4-arylidene oxazolones with acetic hydroxyl amine in methanol (5-6.5 %!). (Yields 70-90 %) which is also applicable to the synthesis of β-alkyl- α -benzoyl-amino acrylic hydroxamide acids. By catalytic hydrogenation of β -aryl- - α -benzoyl-amino acrylic hydroxamide acids the α -benzoyl- -β-aryl alanine hydroxamide acids were obtained. The structure of the synthesized compounds was proved by hydrolysis up to the α-benzoyl-β-aryl alanines. There are 1 figure, 3 tables, and 16 references, 4 of which are Soviet.

Card 2/2 Inst. Pharmacol. & Chemotherapy AMS USSR

AUTHORS: Kochetkov, N. K., Budovskiy, E. I., Khomutov, R. M., Karpeyskiy, M. M. SOV/79-29-2-59/71

TITLE: Cycloserine and Related Compounds (Tsikloserin i rodstvennyye soyedineniya). V. Cyclization of α-Benzoylamino-β-Arylacryl Hydroxamic Acids (V. Tsiklizatsiya α-benzoilamino-β-arilakril-gidroksamovykh kislot)

PERIODICAL: Zhurnal obshchey khimii, 1959, Vol 29, Nr 2, pp 635-642 (USSR)

ABSTRACT: On reacting hydroxamic acids (I) with HCl and HBr the corresponding hydroxamic acids (II) could be expected to form, leading to compounds (III) by the action of alkali lyes. As is known, however, compounds (I) may cyclize in another manner with hydrochloric acid, i.e. under formation of compounds (IV) (Scheme 1). The latter possibility (way B) was carried out according to reference 2, on the cyclization of α-benzoylamino--β-phenyl and α-benzoylamino-β-n-methoxy phenylacryl hydroxamic acid into the corresponding imidazolindone (IV), in a 50 and 16 % yield. The authors therefore closely investigated the cyclization of α-benzoylamino-β-arylacryl hydroxamic acids in order to determine the influence of the substituent in the aromatic nucleus upon the direction (A) or (B). On treating these

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compounds with HCl or HBr in methanol, dioxan, acetic acid and within a wide temperature range (from -50° to +100°) a slight cyclization, almost quantitative, was observed, under formation of imidazolinolone (IV), whereas the formation of affiliation products of hydrogen halides of the type (II) was in no case observed. Thus it became evident that the reaction for (I), regardless of the character of the substituents in the nucleus, proceeds in the direction (B). The synthesis was worked out of 2-phenyl-5-arylidene imidazoline-$\Delta^{1,2}$-ol-3--one-4 (IV) by the cyclization of β-aryl-α-benzoylamino acryl hydroxamic acids with hydrogen halide in alcoholic or acetic acid solution (73 % to quantitative yields). The compounds obtained develop a high bacterial activity, in which connection the substitution of the N-hydroxyl group in them by the methoxy group or the hydrogen atom causes the activity to disappear. There are 3 figures, 1 table, and 10 references, 2 of which are Soviet.

SOV/79-29-2-60/71

AUTHORS: Khomutov, R. M., Karpeyskiy, M. Ya., Severin, Ye. S., Budovskiy, E. I., Kochetkov, N. K.

TITLE: Cycloserine and Related Compounds (Tsikloserin i rodstvennyye soyedineniya). VI. Synthesis of Cycloserine Analogues With a Substituted Amino Group (VI. Sintez analogov tsikloserina s zameshchennoy aminogruppoy)

PERIODICAL: Zhurnal obshchey khimii, 1959, Vol 29, Nr 2, pp 642-650 (USSR)

ABSTRACT: To investigate the relation between structure and chemotherapeutical activity in the lately discovered 4-aminoisoxazolidone-3-derivatives, the authors applied their earlier worked out method (Refs 1,2) to the synthesis of cycloserine analogues with a substituted amino group. In the course of this work, F. Šorm and collaborators (Ref 3) published a different synthesis of two representatives of this series. The synthesis of the above-mentioned analogues of cycloserine took place according to scheme 1. Other ways to form compounds (II) meet with difficulties. α-chloro-β-isopropylidene aminoxy propionic acid (I), one of the intermediate products in the synthesis of cycloserine (Ref 2) served as initial product. On the reaction of compound (I) with various amines in aqueous and alcohol

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solutions no alanine derivatives (II) were found in the reaction mixture, contrarily to the case in which inert solvents are used and also in case the reaction takes place without solvent with an excess of amine. The amination of (I) was carried out with methyl amine, β-phenyl ethyl amine, benzyl amine, piperidine and morpholine, which were all taken in excess to the initial chloric acid. The result in the crystalline state was α-methyl amino, α-benzyl amino, α-phenyl ethyl amino, α-piperidyl-β-isopropylidene amino oxy-propionic acid, with the specified radical values, in yields of 25-70 %. No pure crystalline product was obtained with morpholine. The next stage was the transition of (II) to the dichloro hydrates of esters (III), which was carried out with a mixture of hydrochloric acid and alcohol, with subsequent esterification. They were partly obtained in the crystalline and partly in the non-crystalline state. For the synthesis of other analogues of cycloserine (IV) the oily dichloro hydrates were used, which were not obtained in crystalline state. It was shown that the substitution in the amino group of cycloserine completely stops its chemotherapeutical activity. The above-described

Cycloserine and Related Compounds. VI. Synthesis of Cycloserine Analogues With a Substituted Amino Group SOV/79-29-2-60/71

cyclization of the N-substituted substances of β-chloro alanine hydroxamic acids into the derivatives of 4-aminoisooxazolidone-3 is preferable to the other schemes suggested by the other authors. There are 3 references, 2 of which are Soviet.

ASSOCIATION: Institut farmakologii i khimioterapii Akademii meditsinskikh nauk SSSR (Institute of Pharmacology and Chemotherapy of the Academy of Medical Sciences, USSR)

SUBMITTED: December 17, 1957

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5 (3)

AUTHORS: Kucherova, N. F., Khomutov, R. M., Budovskiy, E. I., Yevdakov, V. P., Kochetkov, N. K.

SOV/79-29-3-34/61

TITLE: Synthesis of the Thioamide of the 2-Ethylisonicotinic Acid (Sintez tioamida 2-etilizonikotinovoy kisloty)

PERIODICAL: Zhurnal obshchey khimii, 1959, Vol 29, Nr 3, pp 915-919 (USSR)

ABSTRACT: Recently the high chemotherapeutic activity of the thioamides of some heterocyclic acids was reported, in particular of the thioamide of the 2-ethylisonicotinic acid (Ref 1). This thioamide exceeds by its efficacy many other tuberculostatica against mycobacterium tuberculosis. The synthesis of the thioamide of 2-ethylisonicotinic acid described in publications (Ref 2) is too complicated (of several steps) and not suitable for a large-scale production. In the present paper a simpler synthesis of this thioamide according to the given scheme is described. The initial ethyl pyridine (I) synthesized according to reference 3 was oxidized with peracetic acid to give the N-oxide (II) which was transformed by nitration into compound (III). In the reduction of (III) the 2-ethyl-4-aminopyridine (IV)(90% yield) was formed. The bromide (V) was obtained by

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Synthesis of the Thioamide of the 2-Ethylisonicotinic Acid

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treating the perbromide of (IV) with sodium nitrite in concentrated hydrobromic acid (Ref 4). This reaction proceeded smoothly and gave a high yield in (V). For the transformation of (V) into the nitrile the former was heated with copper cyanide. The complex compound initially formed was decomposed by ammonia into compound (VI) (Yield 70%). The last step of the synthesis was the transformation of the nitrile (VI) into the thioamide of the 2-ethylisonicotinic acid (VII) which was obtained in crystalline form in high yield by the saturation of the solution (VI) in pyridine with hydrogen disulfide in the presence of triethylamine. In saltless state it is slightly soluble in water. There are 6 references, 1 of which is Soviet.

ASSOCIATION: Nauchno-issledovatel'skiy institut farmakologii i khimioterapii (Scientific Research Institute of Pharmacology and Chemotherapy)

SUBMITTED: February 4, 1958

Card 2/2

5 (3)
AUTHORS: Khomutov, R. M., Karpeyskiy, M. Ya., Budovskiy, E. I., Severin, Ye. S., Kochetkov, N. K.

SOV/79-29-4-62/77

TITLE: Cycloserine and Related Compounds (Tsikloserin i rodstvennyye soyedineniya). VII. Synthesis of 5-Methyl-4-Aminoisoxazolidone-3 (Cyclotreonine) [VII. Sintez 5-metil-4-aminoizoksazolidona-3 (tsiklotreonina)]

PERIODICAL: Zhurnal obshchey khimii, 1959, Vol 29, Nr 4, pp 1328 - 1333 (USSR)

ABSTRACT: In the present paper the synthesis of the 5-methyl-4-aminoisoxazolidone-3 (cyclotreonine) is described. The reason for this choice was the authors' desire to use the method earlier worked out by them (Refs 1,2) for the synthesis of the 5-substituted homologues of cycloserine, and since the latter is genetically related to the vital amino acid-treonine. This fact permits the assumption that cyclotreonine is as well biologically active. When this investigation was finished a report was published (Refs 4,5) on the synthesis of cyclotreonine from treonine over the corresponding hydroxamic acid. The synthesis of cyclotreonine (VI) carried out by the authors is illustrated by scheme 1. The

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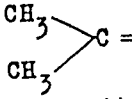
SOV/79-29-4-62/77

Cycloserine and Related Compounds.
VII Synthesis of 5-Methyl-4-Aminoisoxazolidone-3 (Cyclotreonine)

initial product (I) was obtained by the chlorination of methyl crotonate in methanol at 10-15° (70-80% yield), contrary to the complicated prescriptions in the publications. The condensation of (I) with the sodium derivative of acetoxime (Ref 2) led to the ester (II) which was saponified into the acid (III). Compound (III) yielded the amino acid (IV) (50%) with excess liquid ammonia at 45-50° within 8-10 hours. The hydrogenation reaction



was used for the determination of their structure, since it proceeds without contact with the asymmetrical β-carbon atom (Scheme 2). This way is a new method for the determination of the structure of the α-amino-β-isopropylidenaminooxy acids. The result of the reaction was the separation (87%) and the identification of the d,l-allotreonine which points out that (IV) belongs to the erythro series. The next stage was the transition of the amino acid (IV) to the compound (V) (50-60%). The last stage consisted in the cyclization of the dichlorc hydrate (V) into the cyclotreonine (VI) by a caustic potash solution in

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methanol solution (80-85%). Since the structure is not changed by the cyclization the formula cis-d, l-5-methyl-4-aminoisoxazolidone-3 can be ascribed to the cyclotreonine. The structure is also confirmed by the data of the infrared spectrum. Its similarity was determined by means of the paper chromatography. Cyclotreonine has a distinctly marked antitubercular activity. There are 1 figure and 5 references, 3 of which are Soviet.

SUBMITTED: February 10, 1958

APPROVED FOR RELEASE: 09/17/2001 CIA-RDP86-00513R000722220014-1"

SOV/79-29-9-2/76

5(3)

AUTHORS: Nesmeyanov, A. N., Lutsenko, I. F., Khomutov, R. M., Dubovitskiy, V. A.

TITLE: Vinyl Esters of Sulfonic Acids

PERIODICAL: Zhurnal obshchey khimii, 1959, Vol 29, Nr 9, pp 2817 - 2820 (USSR)

ABSTRACT: To synthesize the vinyl esters of various carboxylic acids the authors made use of the reaction of acid halides of carboxylic acids with halogeno mercury acetaldehyde or halogeno mercury ketones, the only reaction products being the acetates of the enol forms of oxo compounds (Refs 1,2). The said reaction did not always exhibit the same character: thus, for example, the chloro carbonic acid ester, the acid chlorides of sulfonic acids, and silicon tetrachloride did not react with the halogeno mercury oxo compounds. Mercury bisacetaldehyde $Hg(CH_2CHO)_2$ (Ref 3) synthesized by the authors, proved to be more reactive as compared with the above aldehyde: this permitted the acid chlorides of the sulfonic acids to be introduced into the reaction according to the following

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scheme:

$$RSO_2Cl+Hg(CH_2CHO)_2 \longrightarrow RSO_2OCH{=}CH_2+ClHgCH_2CHO.$$

Chloro mercury acetaldehyde did not react any more. Mercury bisketones reacted in the same manner. To prevent the vinyl ester of sulfonic acid from polymerizing, pyridine must be added, and the mercury salts must be removed from the reaction solution. By complying with these prudential measures, the vinyl esters of methane- and ethane sulfonic acid were obtained in yields of 45 or 47%. The yields of vinyl esters of benzene- and p-toluene sulfonic acid amounted to 70 and 75% correspondingly. Reaction of thionyl chloride with mercury bisacetaldehyde yielded divinyl sulfite (45%); when applying sulfuryl chloride it cleaved and developed SO_2, without any resulting divinyl sulfate. Reaction of vinyl ester of benzene sulfonic acid with benzoyl chloride according to A. Sieglitz and O. Horn (Ref 4) gave a high yield of β,β-dichloropropiophenone according to the suggested scheme 2. The inter-

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mediate product α-chloro-β-benzoyl ethyl-p-toluene sulfonate separated by this reaction was completely transferred into β,β-dichloro propiophenone with the equivalent amount $AlCl_3$; this confirms the above reaction course. There are 4 references, 3 of which are Soviet.

ASSOCIATION: Moskovskiy gosudarstvennyy universitet (Moscow State University)

SUBMITTED: January 8, 1959

Card 3/3

5(3)

AUTHORS: Kochetkov, N. K., Gottikh, B. P., Vinokurov, V. G., Khomutov, R. M.

SOV/20-125-1-23/67

TITLE: On the Structure of β-Chlorovinyl Ketones and on the Stereochemistry of the Reaction of Ketovinylation (O konfiguratsii β-khlorvinilketonov i stereokhimii reaktsii ketovinilirovaniya)

PERIODICAL: Doklady Akademii nauk SSSR, 1959, Vol 125, Nr 1, pp 89-92 (USSR)

ABSTRACT: The structure of the substances mentioned in the title RCOCH=CHCl is, in spite of their well elaborated utilization methods (Ref 1), still an unsolved problem. From the most important methods of production (Refs 2-4) it may be assumed that the substances produced in this way have a trans-structure. The authors succeeded in clearly confirming experimentally this assumption. If one of the simple β-chlorovinyl ketones, methyl-β-chlorovinyl ketone is oxidized with sodium hypochlorite, the trans-β-chloro acrylic acid (Ref 5) forms under rigidly controllable conditions as the only product. If this oxidation does not contact the C-atoms with a multiple binding, moreover, if the mild conditions of reaction exclude

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the isomerization of the initial substance and the reaction product a complete transformation of the structure during the reaction is impossible. Due to this fact methyl-β-chlorovinyl ketone has to be regarded as a transisomer. Thus, also all alkyl-, alkenyl-, and aryl-β-chlorovinyl ketones (Refs 2-4) are transisomers under similar conditions. As far as the β-chlorovinyl ketones (Refs 6, 7) produced by other methods are identical with those obtained by condensation with acetylene, they are obviously also transisomers. By the knowledge of the above structure the stereochemistry of the reaction mentioned in the title (Ref 1) could be observed. It is one of the most important reactions of β-chlorovinyl ketones and is only a nucleophilic substitution of a halogen atom. Since the chemical methods cannot be used for determining the structure of the reaction products mentioned the authors used infra-red spectra. Although the authors mention only data on the ketovinylation of sulfinic acids and β-dicarbonyl compounds, they have little doubt that also in other cases (Ref 1) ketovinylation reaction leads to a formation of transisomers. In other words, the reaction takes place under

On the Structure of β-Chlorovinyl Ketones and on the Stereochemistry of the Reaction of Ketovinylation

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preservation of the structure of the keto-vinyl group of the initial β-chlorovinyl ketone. This preservation may be explained by the substitution mechanism of the halogen (Ref 1, see Scheme) suggested by the author mentioned first. There are 3 figures and 16 Soviet references.

ASSOCIATION: Institut farmakologii i khimioterapii Akademii meditsinskikh nauk SSSR (Institute of Pharmacology and Chemotherapy of the Academy of Medical Sciences, USSR)

PRESENTED: December 1, 1958, by A. N. Nesmeyanov, Academician

SUBMITTED: November 29, 1958

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17(4) SOV/20-126-5-62/69

AUTHORS: Kochetkov, N. K., Khomutov, R. M., Karpeyskiy, M. Ya., Budovskiy, E. I., Severin, Ye. S.

TITLE: The Mechanism of the Antibiotic Effect of Cycloserine (O mekhanizme antibioticheskogo deystviya tsikloserina)

PERIODICAL: Doklady Akademii nauk SSSR, 1959, Vol 126, Nr 5, pp 1132-1134 (USSR)

ABSTRACT: The cycloserine was paid attention to since its discovery (1955, Ref 1) on the one hand as high effective antituberculous agent, on the other hand as an interesting and suitable object to study the dependence of the biological effect on the structure. In the institute mentioned in the Association for some years a multiple-purpose study of the cycloserine (d-4-amino-isooxazolidone-3) and related compounds has been carried out. Methods of production of several compounds of this series were elaborated, and cycloserine itself was synthesized. It is not only of interest because of its relative simple structure but also because of its unusual complex of properties by which it differs from other known antibiotics. In spite of many papers the theme mentioned in the title was not dealt with (Ref 4).

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Data now already available allow the first considerations. It may be supposed that the essential part of the antimicrobic activity of the cycloserine is its influence on the nitrogen metabolism of the micro-organisms. The paper is dedicated to the discussion of the probable nature of this influence in connection with the hypothesis of the biochemical effect of cycloserine proposed by the authors. Cycloserine reacts easily with aromatic aldehydes (datas of this reaction are published separately) and forms instable azomethine derivatives (Schiff's bases). They transform quickly into isomeric, stable compounds under mild conditions. The azomethine derivatives have a weak antimicrobal effect. Cycloserine analogues with substituted amino group and such without amino group are completely inactive. The racemate of the antibiotic is not inferior to the natural d-isomer in relation to activity but it even surpasses the latter sometimes in this regard. This cannot be explained till now. (The said activity of the single substances was investigated under the direction of Prof. A. M. Chernukha by M. A. Breger, I. R. Balyn', V. P. Zuyeva, G. A. Ivanova, N. A. Kalinina, G. Ya. Kivman, V. S. Mitrofanov, E. G. Rukhadze, V. N. Solov'yev, N. M. Smol'nikova, and N. V. Chumachenko in

the chemotherapy department.) The authors suppose that the suppression of the AIKA-Biosynthesis is one of the most important manifestations of the antibiotic activity of cycloserine (Ref 5). If this is right then the cycloserine must influence the transamination reaction suppressingly. Actually experiments made by Ye. D. Vyshepan and K. I. Ivanova on the request of the authors have shown that cycloserine completely inhibits the enzymatic transamination in the system pyruvic acid - glutaric acid in concentrations corresponding to the bacteriostatic one (5-10 γ/ml). The original action of the inhibition mechanism is the formation of the azomethine derivative by means of enzyme coferments catalyzing the transamination with the pyridoxal phosphate. The resulting Schiff's base must become a compound which cannot decompose again. Possible ways of such a stabilization are indicated. By the said original action the synthesis of the aspartic and glutamic acid and of the glycine is suppressed. The disturbance of the biosynthesis of the specific nucleoproteids caused thereby is for example lethal for Microbacterium tuberculosis at which they are the main part of its proteins (Ref 9). The datas given here are in line with the existing datas concerning the activity of the analogues of this anti-

biotic (Refs 7,10). The estimation does not enclose all the cycloserine action but only part of it. The salts being formed easily by cycloserine and its azomethine derivatives with heavy metals can be toxic for the micro organisms or they can withdraw trace elements (Fe, Cu, Zn, Mg) out of the sphere of the micro-organisms. There are 10 references, 4 of which are Soviet.

ASSOCIATION: Institut farmakologii i khimioterapii Akademii meditsinskikh nauk SSSR (Institute of Pharmacology and Chemotherapy of the Academy of Medical Sciences, USSR)

PRESENTED: March 12, 1959, by A. N. Nesmeyanov, Academician

SUBMITTED: March 12, 1959

BUDOVSKIY, E.I.; KHOMUTOV, R.M.; KARPEYSKIY, M.Ya.; SEVERIN, Ye.S.; KOCHETKOV, N.K.

Some substituted 2-aryl-5-aryliden-$\Delta^{1,2}$-imidazolin-4-ones. Zhur. ob.khim. 30 no.8:2569-2573 Ag '60. (MIRA 13:8)

1. Institut farmakologii i khimioterapii Akademii meditsinskikh nauk SSSR.
(Imidazolinone)

KOCHETKOV, N.K.; BUDOVSKIY, E.I.; KHOMUTOV, R.M.; KARPEYSKIY, M.Ya.; SEVERIN, Ye.S.

Stereochemistry of azlactones. Zhur.ob.khim. 30 no.8:2573-2578 Ag '60. (MIRA 13:8)

1. Institut farmakologii i khimioterapii Akademii meditsinskikh nauk SSSR.
(Azlactones)

KHOMUTOV, R.M.; KARPEYSKIY, M.Ya.; CHZHAN CHZHI-PIN [Chang Chich-p'ing]; KOCHETKOV, N.K.

Cycloserine and related compounds. Part 11: 4-Hydroxy-3-isoxasolidinone and its derivatives. Zhur. ob. khim. 30 no.9:3058-3060 S '60. (MIRA 13:9)

1. Institut farmakologii i khimioterapii Akademii meditsinskikh nauk SSSR.

(Isoxazolidinone)

KIOMITOV, R. M., SEVERIN, YE. S., GOTTIKH, B. P., BRUSOV, YU. N., KARPEYSKIY, M. YA. (USSR)

"Synthesis of Certain Biologically Active Hydroxylamine Derivatives."

Report presented at the 5th International Biochemistry Congress, Moscow, 10-16 August 1961

KHOMUTOV, R. M., GNUCHEV, N. V., KARPEYSKIY, M. YA., POLYANOVSKIY, O. L., SEVERIN, YE. S., and TORCHINSKIY, YU. M. (USSR)

"The Mechanism of the Inhibition of Pyridoxal Enzymes by Cycloserine and Related Hydroxylamine Derivatives."

Report presented at the 5th International Biochemistry Congress, Moscow, 10-16 Aug 1961

CHZAN CHZI-PIN [Chang Chih-p'ing], KHOMUTOV, R.M.; BUDOVSKIY, E.I.; KOCHETKOV, N.K.

Cycloserine and related compounds. Part 12: 4-Sulfanilamindo-3-isoxazolidone (sulfacycloserine). Zhur. ob. khim. 31 no.3:1011-1015 Mr '61. (MIRA 14:3)

1. Nauchno-issledovatel'skiy institut farmakologii i khimioterapii.
(Isoxzolidinone)

KHOMUTOV, R. M., BOGDASHOVA, L. S., SEVERIN, Y. E. S., KAPREYSKIY, M. Ya. (USSR)

"Synthesis of β-(N-Pyrazolyl)-Alanine."

Report presented to the 5th International Biochemical Congress, Moscow, 10-16 August 1961

KHOMUTOV, R.M.; KARPEYSKIY, M.Ya.; SEVERIN, Ye.S.

Relationship between biological action and chemical properties. Biokhimiia 26 no.5:772-781 S-O '61. (MIRA 14:12)

1. Institute of Radiation and Physico-Chemical Biology, Academy of Sciences of the U.S.S.R., Moscow.
(CYCLOSERINE) (BIOLOGICAL PRODUCTS)

KHOMUTOV, R.M.

Hydroxylamine derivatives. Part 1: Synthesis of o-substituted hydroxylamines. Zhur.ob.khim. 31 no.6:1992-1995 Je '61. (MIRA 14:6)

1. Institut radiatsionnoy i fiziko-khimicheskoy biologii AN SSSR. (Hydroxylamine)

KHOMUTOV, R.M.; KARPEYSKIY, M.Ya.; SEVERIN, Ye.S.; GNUCHEV, N.V.

Mechanism of the interaction of cycloserine with pyridoxal and pyridoxal enzymes. Dokl. AN SSSR 140 no.2:492-495 S '61. (MIRA 14:9)

1. Institut radiatsionnoy i fiziko-khimicheskoy biologii AN SSSR. Predstavleno akademikom V.A.Engel'gardtom.
(Isoxazolidinone) (Pyridoxal)

KHOMUTOV, R.M., SEVERIN, E.S., KARPEISKIY, M.YA. AND BREUSSOV, YU.N.

"The mode of interaction of some cyclic derivatives of hydroxylamine with pyridoxal and aplp-enyzymes.

Paper presented at the Symposium on Biological and Chemical aspects of pyridoxal catalysis. Rome, Italy 21-31 Oct 1962

KHOMUTOV, R.M.; KARPEYSKIY, M.Ya.; SEVERIN, Ye.S.

Synthesis of tetrahydro-1,2-oxazin-3-one. Izv.AN SSSR.Otd.khim.-nauk no.6:1074-1076 '62. (MIRA 15:8)

1. Institut radiatsionnoy i fiziko-khimicheskoy biologii AN SSSR.

(Oxazinone)

KHOMUTOV, R.M.; KARPEYSKIY, M.Ya.; BREGER, M.A.; SEVERIN, Ye.S.

On some analogues of cycloserine with antitubercular effect.
Vop. med. khim. 8 no.4:389-391 Jl-Ag '62.
(MIRA 17:11)

1. Laboratoriya khimicheskikh osnov biologicheskogo kataliza Instituta radiatsionnoy i fiziko-khimicheskoy biologii AN SSSR i otdela khimioterapii Instituta farmakologii i khimioterapii AMN SSSR, Moskva.

KHOMUTOV, R. M.; KARPEYSKIY, M. Ya.; SEVERIN, Ye. S.

Derivatives of hydroxylamine. Report No. 4: Synthesis of cyclocanaline (homocycloserine) and related compounds. Izv. AN SSSR Otd. khim. nauk no.12:2161-2166 D '62. (MIRA 16:1)

1. Institut radiatsionnoy i fiziko-khimicheskoy biologii AN SSSR.

(Isoxazolidinone)

KHOMUTOV, R. M.

KHOMUTOV, R. M. [Khomutov, R. M.]; KARPEISKI, M. I. [Karpeyskiy, M. Ya.]
SEVERIN, E. S. [Severin, Ye. S.]

Correlation between biological action and chemical properties.
Analele chimie 17 no.1:156-167 Ja-Mr '62.

KARPEYSKIY, M.Ya.; KHOMUTOV, R.M.; SEVERIN, Ye.S.

New synthesis of canaline. Zhur.ob.khim. 32 no.4:1357-1358 Ap '62. (MIRA 15:4)

(Canaline)

KHOMUTOV, R. M.; KARPEYSKIY, M. Ya.; SEVERIN, Ye. S.

"Rational design of amino acid antimetabolites for specific inhibition of enzymes."

report submitted for 6th Intl Biochemistry Cong, New York City, 26 Jul-1 Aug 1964.

KHOMUTOV, R. M.; KARPEYSKIY, M. Ya.; SEVERIN, Ye. S.

Derivatives of hydroxylamine. Report No. 6: Synthesis and some reactions of B-aminohydroxyalanine. Izv AN SSSR Ser Khim no. 4: 680-685 Ap '64. (MIRA 17:5)

1. Institut radiatsionnoy i fiziko-khimicheskoy biologii AN SSSR.

KHOMUTOV, R.M.; SEVERIN, Ye.S.; KARPEYSKIY, M.Ya.

Hydroxylamine derivatives. Report No. 7: Synthesis of N-substituted 3-isoxazolidones. Izv. AN SSSR. Ser.khim. no. 5:890-893 My '64. (MIRA 17:6)

1. Institut radiatsionnoy i fiziko-khimicheskoy biologii AN SSSR.

FAVOROVA, O.B.; GRIGORIEV, V.V.; SEVERIN, Ye.S.; KOVALEVA, G.K.; KHOMUTOV, R.M.

Formation of C14-alanyl-RNA in the presence of cycloserine and its analogs. Biokhimiia 30 no.5:1015-1020 S-O '65. (MIRA 18:10)

1. Institut radiatsionnoy i fiziko-khimicheskoy biologii AN SSSR, Moskva.

KHOMUTOV, R.M.; SEVERIN, Ye.S.; KOVALEVA, G.K.

Controlled synthesis of inhibitors of enzymatic glutamic acid transformations. Dokl. AN SSSR 161 no.5:1227-1230 Ap '65. (MIRA 18:5)

1. Institut radiatsionnoy i fiziko-khimicheskoy biologii AN SSSR. Submitted June 17, 1964.

BARYSHEV, P.M.; STRUGUSHCHENKO, Yu.M.; KHOMUTOV, T.Ya.

Therapeutic effectiveness of leptospirous γ-globulin; studies in Krasnodar Territory. Sov. med. 27 no.1:116-120 Ja '64. (MIRA 17:12)

1. Laboratoriya leptospirozov (zav.- prof. A.A. Varfolomeyeva) Moskovskogo nauchno-issledovatel'skogo instituta vaktsin i syvorotok imeni I.I. Mechnikova, kafedra epidemiologii (zav.- prof. V.V. Skvortsov) II Moskovskogo meditsinskogo instituta imeni N.I. Pirogova i Grivenskaya uchastkovaya bol'nitsa (glavnyy vrach T.Ya. Khomutov) Krasnodarskogo kraya.

Khomutov, Ye. Ye.

ARKHANGEL'SKIY, P.Ye., inzhener; ARKHIPOV, P.P., inzhener; VAS'KOV, M.P., agronom; ZHMUDSKIY, D.A., arkhitektor; IVANOV, A.P., arkhitektor; KIBIREV, S.F., arkhitektor; KRYLOV, N.V., inzhener-arkhitektor; KULAKOV, D.V., arkhitektor; MARTYNOV, P.F., inzhener; NIKIFOROV, V.S., inzhener; NOSKOV, B.G., arkhitektor; PETUKHOV, B.V., kandidat tekhnicheskikh nauk; RUDANOV, M.L., kandidat tekhnicheskikh nauk; RYAZANOV, V.S., kandidat arkhitektury; SOKHRANICHEV, N.S., inzhener-arkhitektor; TARASOV, D.I., arkhitektor; SHMIDT, N.E., kandidat arkhitektury; KHOMUTOV, Ya.Ye., arkhitektor; VOL'FOVSKAYA, V.N., redaktor; FEDOTOVA, A. F., tekhnicheskiy redaktor.

[Handbook on the construction of farm buildings] Spravochnik po sel'skokhozyaistvennomu stroitel'stvu. Avtorskii kollektiv: P.E.Arkhangel'skii i dr., avtor-sost. N.V.Krylov. Moskva, Gos.izd-vo sel'khoz.lit-ry. Vol.3 1955. 843 p. (Farm buildings) (MLRA 9:6)

KHOMUTOVA, B.S.

Inflammatory gastric tumor due to a foreign body. Khirurgiia (MIRA 11:4)
Supplement:49 '57.

1. Iz gospital'noy khrurgicheskoy kliniki Smolenskogo meditsinskogo instituta
(STOMACH--TUMORS) (STOMACH--FOREIGN BODIES)

KHOMUTOVA, G.P.(Leningrad, Fontanka, d.101, kv.3)

Penicillin concentration in the blood of rabbits following intramuscular and intraosseous administration [with summary in English, p.158] Vest. khir. 77 no.2:48-50 F '56 (MIRA 9:6)

1. Iz kafedry ortopedii (nach. prof. I.L. Krupko) Voyenno-meditsinskoy ordena Lenina akademii imeni S.M. Kirova.

(PENICILLIN, admin.
intramusc. & intra-osseous, eff. on blood level, exper.)
(BLOOD
penicillin level, eff. of mode of admin., exper.)

KHOMUTOVA, K. V.

"The Effect of Ultraviolet Radiation on the Living Processes of Diphtheria Bacilli."

Tezisy Dokladov Nauchnoy Sessii Sanitarno-gigiyenicheskikh Institutov i Kafedr Gigiyeny Institutov RSFSR (The Theses of Reports Presented at the Scientific Sessions of the Sanitation-Hygiene Institutes and Chairs of the Hygiene Institutes of the RSFSR 10-14 June 1952) Leningrad, 1952, pp 53,54.

KHOMUTOVA, K. V.

"The Effect of Ultraviolet Radiation From Different Areas of the Spectrum on Diphtheria Bacteria." Cand Med Sci, State Sci-Res Sanitary Inst, Moscow, 1954. (RZhBiol, No 8, Dec 54)

Survey of Scientific and Technical Dissertations Defended at USSR Higher Educational Institutions (12)
SO: Sum.No. 556 24 Jun 55

KHOMUTOVA, K.V.

Complex effect of drying factors and ultraviolet radiation on cultures, biochemical properties, and virulence of diphterial bacilli. Zhur.mikrobiol.epid. i immun. 27 no.4:73 Ap '56. (MLRA 9:7) 313-303

1. Iz Gosudarstvennogo nauchno-issledovatel'skogo sanitarnogo instituta imeni F.F.Erismana.

(CORYNEBACTERIUM DIPHTHERIAE)
(ULTRAVIOLET RAYS--PHYSIOLOGICAL EFFECT)

USSR/Microbiology. Antibiosis and Symbiosis. Anti- F-2
biotics.

Abs Jour : Ref Zhur - Biol., No 14, 1958, No 62351

Author : Khomutova K.V.
Inst : Moscow Scientific Research Institute of Sanitation and Hygiene
Title : Use of Antibiotics in the Separation of Pathogenic Bacteria from Meat Products.

Orig Pub : Inform. byul. Mosk. n.-i in-t sanitarii i gigiyeny, 1957, No 9, 43-46

Abstract : No abstract

Card : 1/1

Country : USSR
Catogory : Microbiology - Sanitation Microbiology

Abs. Jour : Ref Zhur - Biol., No.19, 1958, 86053

Author : Khomutova, K.G.
Institut. : Moscow Scientific Research Institute of Sanitation
Title : The Characteristics of Non-Typical Bacteria of Typhoid Fever and Gertner's Enteritis Isolated from Milk

Orig Pub. : Inform. Byul. Mosk. N.-I. In-t Sanitarii i Gigiyeny, 1957, No.9, 35-39

Abstract : From milk, experimentally infected with bacteria of typhoid fever and of Gertner's enteritis, either raw, boiled, or pasteurized, within 5,8, or 10 days not only typical but also atypical cultures were isolated. The latter grew on the same media as the typical cultures, but were not agglutinated by specific sera and exhibited morphologic and biochemical differences from typical cultures, although they retained complete pathogenicity for mice. Reversion of the atypical strains was obtained by a 20-fold passage in bile broth. The author believes that atypical microbes isolated from food products

Card: 1/2 *and Hygiene

-27-

GLIKMAN, S.A., AVERYANOVA, V.M., KHOMUTOVA, L.I.

Mechanical properties and structure of acetyl cellulose spinning solutions

Report presented at the 13th Conference on high molecular compounds
Moscow, 8-11 Oct 62

KHOMUTOVA, M.A.

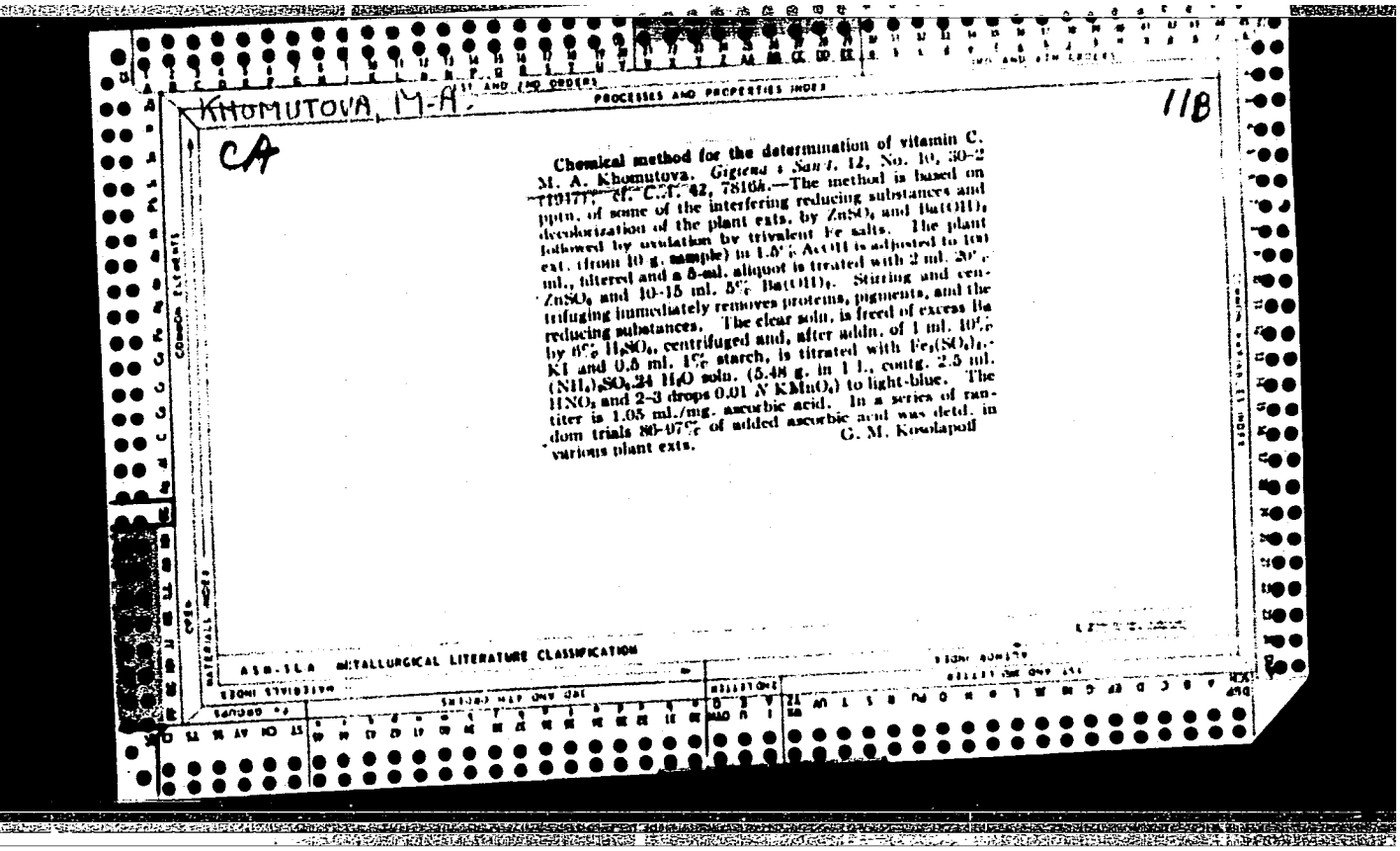
Influence of the introduction of technical training on the choice of a vocation. Politekh. obuch. no.3:6-16 Mr '57. (MLRA 10:5)

(Technical education) (Vocational guidance)

KHOMUTOVA, M.A.

Features of the learning interests of pupils related to their choice of occupation [with summary in English]. Vop. psikhol. 4 no.1:117-131 Ja-F '58. (MIRA 11:3)

1. Nauchno-issledovatel'skiy institut shkol, Yerevan.
(Occupations)



KHOMUTOVA, M-A.

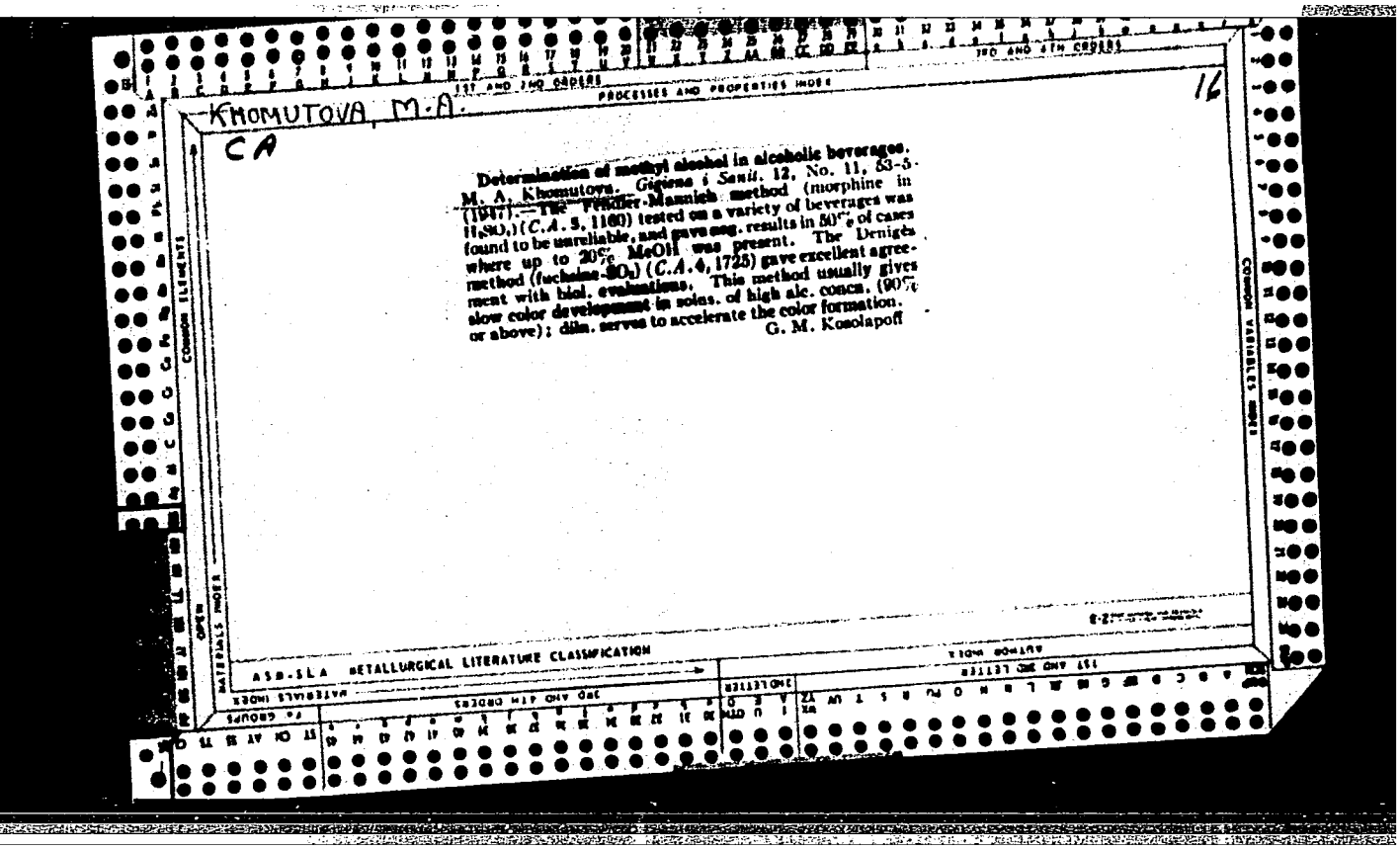
CA

11B

PROCESSES AND PROPERTIES INDEX

Chemical method for the determination of vitamin C. M. A. Khomutova. *Gigiena i San.* 12, No. 10, 30–2 (1947). Cf. *C.A.* 42, 7816d.—The method is based on pptn. of some of the interfering reducing substances and decolorization of the plant exts. by $ZnSO_4$ and $Ba(OH)_2$ followed by oxidation by trivalent Fe salts. The plant ext. (from 10 g. sample) in 1.5% AcOH is adjusted to 100 ml., filtered and a 5-ml. aliquot is treated with 2 ml. 30% $ZnSO_4$ and 10–15 ml. 5% $Ba(OH)_2$. Stirring and centrifuging immediately removes proteins, pigments, and the reducing substances. The clear soln. is freed of excess Ba by 6% H_2SO_4, centrifuged and, after addn. of 1 ml. 10% KI and 0.5 ml. 1% starch, is titrated with $Fe_2(SO_4)_3$–$(NH_4)_2SO_4$·24 H_2O soln. (5.48 g. in 1 l., contg. 2.5 ml. HNO_3 and 2–3 drops 0.01 *N* $KMnO_4$) to light-blue. The titer is 1.05 ml./mg. ascorbic acid. In a series of random trials 80–97% of added ascorbic acid was detd. in various plant exts.

G. M. Kosolapoff



CA KHMUTOVA, M.A.

12

Use of Pulfrich photometer for ammonia determination in fish and meat. M. A. Khmutova. *Gigiena i Sanit.* 1950, No. 3, 50-1.—The method of Reslina and Tyukhteneva (*C.A.* 31, 3000[9]) is criticized. The recommended procedure makes use of 1-min. boiling of the sample without added reagents after which Fiszov's procedure (*C.A.* 32, 3040[9]) is used. In examn. of stored meat a rise of ammonia N was noted but no direct relation between the results of photometric detn. and Nessler no. was found. The photometer results are more reliable. G. M. K.

T

COUNTRY : USSR
CATEGORY : Human and Animal Physiology, Metabolism

ABS. JOUR. : RZhBiol., No. 5 1959, No. 21770

AUTHOR : Khomutova, M.A. → On Next Page.
INST. :
TITLE : The Effect of the Complex of Microelements on Animal Organisms.

ORIG. PUB. : Vopr. pitaniya, 1957, 16, No. 3, 47--51

ABSTRACT : The experiments were performed on rabbits, guinea pigs, rats and mice. To the animals' regular diets, which consisted of wheat, rye, vegetables, grass and white and black bread, for a period of 7 months, daily additions of the following microelements were made (in mg per kg of food): 0.3 Mn, 0.025 Co, 0.01 I, 0.02 Cu, 1.0 Zn, 1.0 Fe. The control animals, maintained under the same conditions, did not receive the micro-element supplements. The response to the addition of the microelements to the diet varied with the animal species. Among the rabbits and mice there

Card: 1/2

BEREZOV, Yu.Ye., doktor med.nauk; POKROVSKIY, A.V., kand.med.nauk; MEL'NIK, I.Z.; RUSHANOV, I.I.; KHOMUTOVA, M.G.

Diagnosis of congenital stenosis of the aorta. Sov.med. 26 no.10:27-33 O '62. (MIRA 15:12)

1. Iz otdeleniya khirurgii sosudov (zav. - doktor med.nauk Yu.Ye.Berezov) i rentgenologicheskogo otdeleniya (zav. - dotsent M.A.Ivanitskaya) Instituta serdechno-sosudistoy khirurgii (dir. - prof. S.A.Kolesnikov; nauchnyy rukovoditel' - akademik A.N. Bakulev) AMN SSSR.

(AORTA—DISEASES)

IVANITSKAYA, M.A., dotsent; LEBEDEVA, I.N.; KHOMUTOVA, M.G.

X-ray cinematography in the diagnosis of mitral valve insufficiency. Terap. arkh. 35 no.5:65-70 My'63 (MIRA 16:12)

1. Iz rentgenologicheskogo otdeleniya (zav. - dotsent M.A. Ivanitskaya) Instituta serdechno-sosudistoy khirurgii (dir.- prof. S.A. Kolesnikov, nauchnyy rukovoditel' - akademik A.N. Bakulev).

IVANITSKAYA, M.A.; KHOMUTOVA, M.G.; KUNINA, Ye.I.

Importance of X-ray cinematography in the diagnosis of aortic defects. Grud. khir. 6 no.4:44-49 Jl-Ag '64. (MIRA 18:4)

1. Rentgenologicheskoye otdeleniye (zav. - doktor med.nauk M.A. Ivanitskaya) Instituta serdechno-sosudistoy khirurgii (dir. - prof. S.A.Kolesnikov, nauchnyy rukovoditel' - akademik A.N. Bakulev) AMN SSSR, Moskva. Adres avtorov: Moskva, V-49, Leninskiy prospekt d.8, Institut serdechno-sosudistoy khirurgii.

KHCHUTOVA, M. S.

"The Vegetation of Transural Chkalov Oblast." Cand Biol Sci, Moscow State Pedagogical Inst imeni V. I. Lenin, Moscow, 1953. (RZhBiol, No 1, Sep 54)

SO: Sum 432, 29 Mar 55

KHOMYAKOV, M.V., inzh.

Measures against the contamination of electric insulators on electric substations and power transmission lines. Elek.sta. 33 no.12:51-54 D '62. (MIRA 16:2)
(Electric insulators and insulation)
(Electric power distribution)

28276
S/062/61/000/010/013/018
B106/B101

15-8113

AUTHORS: Shostakovskiy, M. F., Khomutov, A. M., and Khomutova, N. M.

TITLE: Reaction of polyvinyl alcohol with polymethacrylic acid

PERIODICAL: Akademiya nauk SSSR. Izvestiya. Otdeleniye khimicheskikh nauk, no. 10, 1961, 1890 - 1891

TEXT: The activity of the hydroxyl groups of polyvinyl alcohol in the reaction with polymers containing functional groups with mobile hydrogen has hitherto not been studied. In this connection, the authors investigated the reaction between aqueous solutions of polyvinyl alcohol and polymethacrylic acid at room temperature without using a catalyst. The polyvinyl alcohol contained 1.5% of acetate groups, and had a specific weight of 1.259. Data of methacrylic acid: boiling point 160°C, n_D^{20} 1.4313, d_4^{20} 1.0153, acid number 650 mg of KOH. Methacrylic acid was polymerized in the presence of 0.2% of benzoyl peroxide, the polymer reprecipitated from its methanolic solution with benzene several times, until no double bond could be proved any longer. Then, polymethacrylic

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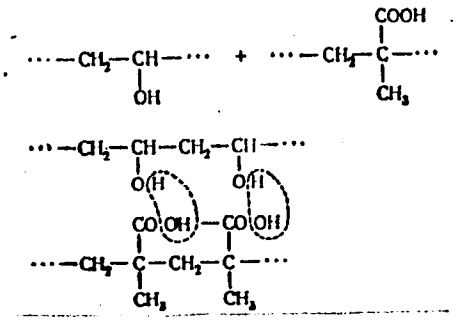
Reaction of polyvinyl alcohol...

acid was dried up to a constant weight and finally analyzed. It contained 99.5% of carboxyl groups. In order to synthesize the polyester, 10% aqueous solutions of polyvinyl alcohol and polymethacrylic acid were mixed at room temperature. The polyester precipitate deposited after some minutes was washed with water up to a neutral reaction and then dried up to a constant weight. The content of unused polymethacrylic acid in the filtrate was determined titrimetrically. To analyze the polyester, it was saponified with lye, and the content of carboxyl groups was determined titrimetrically. Then, the amount of polymethacrylic acid entering the composition of the polyester was calculated. The results are given in a table. The reaction in question was conducted at equimolecular ratios of the initial substances (referred to one link) or with an excess of one of the two reactants. In all cases, esterification was almost quantitative. The reaction can be observed well, since both polyvinyl alcohol and polymethacrylic acid are readily soluble in water, whereas the reaction product is not water-soluble and precipitates from the aqueous solution. The reaction follows the pattern:

20276 3/062/61/000/010/013/018
B106/B101

Reaction of polyvinyl alcohol...



The resulting new polyesters belong to the so-called cross-linked high-molecular compounds. They are insoluble in water and organic solvents, and carbonize on heating without melting. In order to clarify the structure of the polyesters, they were subjected to alkaline hydrolysis. In aqueous sodium hydroxide, hydrolysis is complete and yields polyvinyl alcohol and the sodium salt of polymethacrylic acid. An analogous experiment with an aqueous solution of polyvinyl alcohol was carried out in order to study the course of reaction between polyvinyl alcohol and monomeric methacrylic acid. In this case, the reaction is considerably slower. It was found that the esterification of polymethacrylic acid with polyvinyl alcohol in aqueous solution is almost quantitative. [Abstracter's note: Essentially complete translation.] There are 1 table and 3 Soviet references.

Card 3/4

Reaction of polyvinyl alcohol...

ASSOCIATION: Institut organicheskoy khimii im. N. D. Zelinskogo Akademii nauk SSSR (Institute of Organic Chemistry imeni N. D. Zelinskiy of the Academy of Sciences USSR)

SUBMITTED: April 3, 1961

(1) Исходные вещества	(2) Молярное соотношение	(3) Выход, % от теорет.	Содержание звеньев полиметакриловой кислоты (4)		Количество полиметакриловой кислоты, (5) не вошедшей в реакцию, %
			теорет., % (a)	практ., % (b)	
Поливиниловый спирт (6)	1	99,0	61,6	59,0	1,07
Полиметакриловая кислота (7)	1				
Поливиниловый спирт (6)	0,5	91,6	61,6	58,6	41,6
Полиметакриловая кислота (7)	1				
Поливиниловый спирт (6)	1	94,5	61,6	59,4	0,97
Полиметакриловая кислота (7)	0,5				

Legend to the Table: (1) initial substances; (2) molar ratio; (3) yield, % of theoretical value; (4) content of polymethacrylic acid links; (a) theoretical value, %; (b) practical value, %; (5) amount of polymethacrylic acid which did not react, %; (6) polyvinyl alcohol; (7) polymethacrylic acid.

Card 4/4

SHOSTAKOVSKIY, M.F.; KHOMUTOV, A.M.; CHEKULAYEVA, I.A.; KHOMUTOVA, N.M.

Synthesis and polymerization of diallyl tartrate. Izv.AN SSSR.-Otd.khim.nauk no.11:2075-2077 N '61. (MIRA 14:11)

1. Institut organicheskoy khimii im. N.D.Zelinskogo AN SSSR.
(Tartaric acid) (Polymerization)

KhomuTova, N.S.

The dynamics of organic residues in sod rotations. A. M. Bydyl', N. S. Khomutova, and A. F. Pankratova (Inst. Zemledeliya Yugo-Vostoka S.S.S.R., Saratov). *Agrobiologiya* 1953, No. 5, 32-41.—Expts. with various types of sod in grain culture show that the residual org. matter of an older sod has a higher N and P content than a young sod. Perennial grasses accumulate most H and P in bottom lands, followed by areas between shelter belts, with the lowest amount in the open steppe. J. S. J.

(2)

KULIKOV, N.S.; CHEREPOV, V.T.; KHOMUTOVA, T.M.; VECHERKINA, L.G.; TIKHONOV, L.S.

Paratyphoid fever in bees. Veterinariia 41 no.8:43-44 Ag '64.
(MIRA 18:4)

L 42178-66 EWT(m)/T/EWP(t)/ETI IJP(c) WW/JD/JG/GD

ACC NR: AT6022480 (A) SOURCE CODE: UR/0000/65/000/000/0116/0120

AUTHOR: Kislyakov, I. P.; Smirnova, I. N.; Buynov, B. I.; Khomutova, T. V.; Tokunov, T. V. 44

ORG: Moscow Institute of Fine Chemical Technology im. M. V. Lomonosov (Moskovskiy Institut tonkoy khimicheskoy tekhnologii) B+1

TITLE: Synthesis and solubility of barium, calcium, and manganese tungstates in melts of certain salts

SOURCE: Vsesoyuznoye soveshchaniye po fizicheskoy khimii rasplavlennykh soley. 2d, Kiev, 1963. Fizicheskaya khimiya rasplavlennykh soley (Physical chemistry of fused salts); trudy soveshchaniya. Moscow, Izd-vo Metallurgiya, 1965, 116-120.

TOPIC TAGS: tungstate, barium compound, calcium compound, manganese compound, solubility, chemical precipitation, aqueous solution, temperature dependence, recrystallization

ABSTRACT: Manganese tungstate was prepared by precipitation from aqueous solutions of $MnCl_2$ and Na_2WO_4, and $MnWO_4 \cdot 2H_2O$ was obtained. A study of the solubility of dehydrated $MnWO_4$ in Na_2WO_4 and Na_2WO_4 + 20% NaCl melts showed it to be strongly temperature-dependent. Three different types of $MnWO_4$ crystals corresponding to three different regions of crystallization were obtained. Manganese tungstate was also prepared in the melt via the reaction $Na_2WO_4 + MnCl_2 \rightarrow 2NaCl + MnWO_4$, and the product did not differ from that prepared by recrystallization. Barium tungstate was obtained by

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L 42178-66

ACC NR: AT6022480

precipitation from dilute aqueous solutions of $BaCl_2$ and Na_2WO_4. A microvisual-polythermal method was used in studying the solubility in the $BaCl_2$-$BaWO_4$ system at high temperatures. Coarsely crystalline $BaWO_4$ was prepared by recrystallizing dehydrated $BaWO_4$ in molten $BaCl_2$ and also by the reaction $BaCO_3 + WO_3 \rightarrow BaWO_4 + CO_2$ in the same medium. Calcium tungstate was obtained in similar fashion. Its solubility in $CaCl_2$ at high temperatures was determined. Attempts to crystallize $CaWO_4$ from $CaCl_2$ melt showed this method to be inappropriate in air (the $CaWO_4$ crystals contained excess CaO). Orig. art. has: 4 figures and 1 table.

SUB CODE: 07/ SUBM DATE: 23Aug65/ ORIG REF: 003/ OTH REF: 002

Card 2/2

KHOMUTOVA, Ye.D.

KOCHETKOV, N.K.; KHOMUTOVA, Ye.D.; MIKHAYLOVA, O.B.; NESMEYANOV, A.N.

Synthesis of arylpyrazoles. Izv. AN SSSR Otd. khim. nauk no.10:1181-1185 O '57. (MIRA 11:3)

1.Moskovskiy gosudarstvennyy universitet im. M.V. Lomonosova.
(Pyrazole)

KHOMUTOVA, Ye D

79-2-38/58

AUTHORS: Kochetkov, N. K.; Khomutova, Ye. D.; Karpeyskiy, M. Ya.; Khorlin, A. Ya.

TITLE: Study of Isoxazole. Part 3. Synthesis of Arylisoxazoles (Issledovaniye v ryadu izoksazola. III. Sintez arilizoksazolov)

PERIODICAL: Zhurnal Obshchey Khimii, 1957, vol 27, No 2, pp. 452-457 (U.S.S.R.)

ABSTRACT: It is shown that aryl-beta-chlorovinyl ketones react with hydrochloride hydroxylamine under the very same conditions as their aliphatic analogues. When both components are heated in methanol, they produce high yields of arylisoxazoles. The reaction of alkyl-beta-chlorovinyl ketones with hydroxylamine yields a mixture of alpha- and gamma-isomers (5- and 3-substituted isoxazoles) with 50 - 60% of the alpha-form. The reaction with phenyl-beta-chlorovinyl ketone produces a mixture of alpha- and gamma-phenylisoxazoles in approximately equal amounts. The alpha-isomer content in the phenylisoxazole was 62-67%. Phenyl-beta-chlorovinyl ketones with substitutes in the aromatic ring react smoothly with hydroxylamine, giving high yields of arylisoxazoles. It is shown that the ratio of the alpha- and gamma-substituted isoxazoles formed during the reaction of beta-substituted vinyl ketones RCOCH = CHX with hydroxylamine depends

Card 1/2

AUTHORS: Kochetkov, N. K., Khomutova, Ye. D., Karpeyskiy, M. Ya., and Khomutov, R. M. 79-12-9/43

TITLE: Investigation in the Series of the Isoxazol (Issledovaniye v ryadu izoksazola)
IV. Synthesis of Some Amines of the Isoxazol Series (Sintez nekotorykh aminov ryada izoksazola)

PERIODICAL: Zhurnal Obshchey Khimii, 1957, Vol. 27, Nr 12, pp. 3210-3214 (USSR)

ABSTRACT: In connection with that, recently obtained in physiologically active substances, to which the isoxazol-cycle belongs, too, the synthesis of some derivatives of the isoxazol series with an amino group in the side chain was carried out by the authors. Thus the reaction of the 3-methyl--chloride-isoxazol with diethylaminoethanol leads to (isoxazol-3-methyl)-β-diethylaminoethyl-ether (see formulae). This amino ether forms together with ethyl iodide a quartary salt, which is also confirmed by its structure. Under the same conditions also the 3-diethylaminomethyl--isoxazol forms a quartary salt, whereas a direct influence of the 3-methyl-chloride-isoxazol upon triethyl-amine does not lead to the result expected. Furthermore, the authors

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Investigation in the Series of the Isoxazol
IV. Synthesis of Some Amines of the Isoxazol Series

succeeded to bring the 3-methyl-chloride-isoxazol in condensation with aromatic amines, with the aim to use the compounds obtained for the synthesis of the isologues (izologov) of the known preparation "Anthergan" (antergan), having the isoxazol-cycle instead of the benzene nucleus (see formulae!). As the halide methyl-isoxazols substituted are difficult to approach, a simple method of producing the 4-methyl-chloride-3-dimethyl-3,5-dimethyl-isoxazol had to be worked out. It succeeded to realize this new reaction by means of the heating of the 3,5-dimethyl-isoxazol with paraformaldehyde in dry tetra-hydrogen-chloride in the presence of hydrogen chloride. The yield of 3,5-dimethyl-4--methyl-chloride-isoxazol amounted to 28-30%. It was shown that the synthesized N-phenyl-N-(3,5-dimethyl-isoxazolyl-4--methyl)-N, N-dialkyl-ethylene-diamines and the iodine ethylate of the 3-diethyl-amino-methyl-isoxazol demonstrate a weak physiologic activity. There are 7 references, 4 of which are Slavic.

79-12-9/43

Investigation in the Series of the Isoxazol
IV. Synthesis of Some Amines of the Isoxazol Series

ASSOCIATION: Institute of Pharmacology and Chemotherapy of the Academy of Medical Sciences, USSR; Moscow State University (Institut farmakologii i khimioterapii Akademii meditsinskikh nauk SSSR; Moskovskiy gosudarstvennyy universitet).

SUBMITTED: October 1, 1956

AVAILABLE: Library of Congress

1. Amines - Synthesis

Card 3/3

2

KHOMITOVA, Ye.D., Cand Chem Sci -- (diss) "Study of ~~a number of~~ an series isoxasoll." Mos, 1958, 13 pp (Mos Order of Lenin and Order of Labor Red Banner State Univ im M.V. Lomonosov. Chem Faculty) 100 copies (KL, 23-58, 102)

KHOMUTOVA, Ye. D.

79-3-18/34

AUTHORS: Kochetkov, N. K., Khomutova, Ye. D.

TITLE: Investigations in the Series of Isoxazols (Issledovaniye v ryadu izoksazola) V. Substitution in α-Phenylisoxazol (V. Zameshcheniye v α-fenilizoksazole)

PERIODICAL: Zhurnal Obshchey Khimii, 1958, Vol. 28, Nr 2, P.. 359 - 363 (USSR)

ABSTRACT: The isoxazol derivatives were discovered in the year 1888. Nevertheless the compounds of this class are relatively little known. It is well known that the individual representatives of this class of compounds possess the capability of nitrating (reference 1), halogenating (reference 2), and sulfonating (reference 3). But the position of isoxazol in the series of other aromatic compounds has hitherto not been determined. The activity of the isoxazol nucleus in the substitution reaction is, in comparison with other aromatic systems, not investigated. The authors investigated the activity of the isoxazol nucleus in the reactions of the electrophile substitution, such as nitration, halogenation and mercurization. They wanted to determine the activity of the isoxazol nucleus, for example in comparison to the benzene nucleus. As first example they selected the little known α-phenylisoxazol. The nitration of α-phenylisoxazol with a mixture of sulfuric and nitrogenous acid

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Investigations in the Series of Isoxazols. V. Substitution in α-Phenylisoxazol

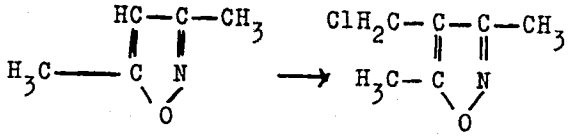
was performed under cooling. At a total yield of 75 % a mixture of nitro products was eliminated which contained 45 % of α-(p-nitrophenyl)-isoxazol and 30 % α-phenylnitroisoxazol. α-(p-nitrophonyl)-isoxazol is identical with the substance obtained from p-nitrophenyl- β-chlorovinylketone and the hydrochloride of hydroxylamine (reference 4). Benzoic acid was eliminated in the oxidation of α-phenylnitroisoxazol with potassium permanganate. Beside these two products the mixture contained a small amount (15 % of the entire reaction products) of a non-identified substance which probably represents a mixture of polynitro derivatives and destruction products. α-phenylbromoisoxazol with a yield of 70 % was obtained by bromination with an iron catalyst and heating. Its oxidation with potassium permanganate yields benzoic acid with a yield of 74 %, which contradicts a possible presence of a second isomer. The mercurization with mercury acetate proceeds softer than that of benzene (reference 5) and yields the mercury acetate of α-phenylisoxanol with a 90 % yield. In this connection only the isoxazol nucleus is mercurized. The position of the substituents in the isoxazol nucleus of α-phenylisoxazol is at present determined in the obtained compounds. The assumption that they occupy the β-position seems to be well-founded. Conclusions: In the reactions of bromination and mercurization of α-phenylisoxazol

AUTHORS: Kochetkov, N. K., Khomutova, Ye. D., Bazilevskiy, M. V. SOV/79-28-10-24/60

TITLE: Investigation in the Isoxazole Series (Issledovaniye v ryadu izoksazola) VII. Chloromethylation of Isoxazoles (VII. Khlormetilirovaniye izoksazolov)

PERIODICAL: Zhurnal obshchey khimii, 1958, Vol 28, Nr 10, pp 2736-2745 (USSR)

ABSTRACT: Recently Kochetkov showed that the 3,5-dimethyl isoxazole can enter into the chloromethylation reaction (Ref 10). Results are mentioned that were obtained in a detailed investigation of this reaction with various substituted isoxazoles. The authors proceeded from the chloromethylation of the easily accessible 3,5-dimethyl isoxazole as it was the most useful reaction and excluded the formation of isomers:



Card 1/3